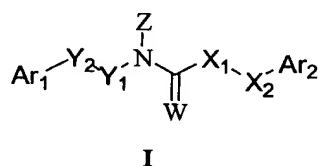


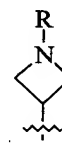
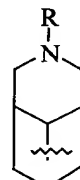
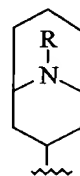
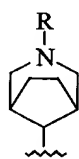
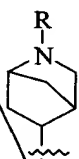
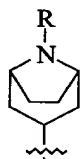
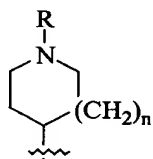
**We Claim:**

1. A compound of formula (I)



wherein

Z is



or

in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group;

n is 0, 1, or 2;

X<sub>1</sub> is methylene, vinylene, or an NH or N(lower alkyl) group; and

X<sub>2</sub> is methylene, or, when X<sub>1</sub> is methylene or vinylene, X<sub>2</sub> is methylene or a bond; or when X<sub>1</sub> is methylene, X<sub>2</sub> is O, S, NH, or N(lower alkyl) or a bond;

Y<sub>1</sub> is methylene and Y<sub>2</sub> is methylene, vinylene, ethylene, propylene, or a bond;

or Y<sub>1</sub> is a bond and Y<sub>2</sub> is vinylene; or

Y<sub>1</sub> is ethylene and Y<sub>2</sub> is O, S, NH, or N(lower alkyl);

Ar<sub>1</sub> and Ar<sub>2</sub> independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar<sub>1</sub> and Ar<sub>2</sub> are not simultaneously phenyl; and

W is oxygen or sulfur.

2. A compound according to claim 1, wherein

Y<sub>1</sub> is methylene and Y<sub>2</sub> is a bond, methylene, ethylene, or vinylene; or

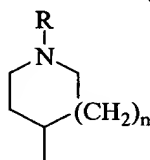
Y<sub>1</sub> is ethylene and Y<sub>2</sub> is O or S;

and

X<sub>1</sub> is methylene and X<sub>2</sub> is a bond, methylene, O, or S; or

X<sub>1</sub> is NH or N(lower alkyl) and X<sub>2</sub> is methylene.

- 5 3. A compound according to claim 2, wherein  
Z is



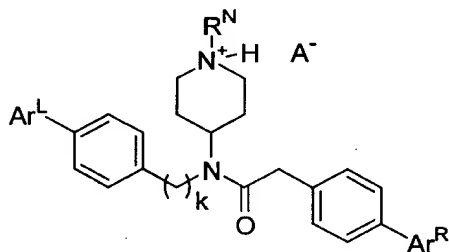
and W is oxygen.

- Sub  
A<sub>2</sub><sup>10</sup>  
4. A compound according to claim 3, wherein  
Ar<sub>1</sub> and Ar<sub>2</sub> independently are mono- or disubstituted phenyl groups.

- 4 3. A compound according to claim 3, wherein  
R is a hydrogen, a lower alkyl group, a cyclic organyl group, or a substituted  
or unsubstituted aralkyl or heteroaralkyl group;  
n is 1;

- 15 Y<sub>1</sub> is methylene, Y<sub>2</sub> is a bond, methylene, ethylene, or vinylene;  
X<sub>1</sub> is methylene and X<sub>2</sub> is a bond, or;  
X<sub>1</sub> is NH or N(lower alkyl) and X<sub>2</sub> is methylene; and  
Ar<sub>1</sub> and Ar<sub>2</sub> are phenyl groups, independently *p*-substituted with groups  
selected from lower alkyl, lower alkoxy and halogen.

- 20 5. A compound according to claim 1, having a formula (II)



II

wherein R<sup>N</sup> is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

Ar<sup>L</sup> is selected from lower alkyl, lower alkoxy and halogen

- 25 Ar<sup>R</sup> is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

and A<sup>-</sup> is a suitable anion.

7. The compound according to claim 1, wherein the compound is selected from the group consisting of:

- 5 N-(1-(1-methylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(2,2-dimethylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- Sub  
A3  
10 N-(1-pentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-hexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-cyclohexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 15 N-(1-cyclopentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-cyclobutylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-cyclopropylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 20 N-(1-(cyclopentylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(cyclobutylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(cyclopropylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 25 N-(1-(2-hydroxyethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- N-(1-(3-hydroxypropyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;
- 30 N-((4-methylphenyl)methyl)-N-(piperidin-4-yl)-N<sup>o</sup>-phenylmethylcarbamide;
- N-((4-methylphenyl)methyl)-N-(1-(2-methylpropyl)piperidin-4-yl)-N<sup>o</sup>-phenylmethylcarbamide;
- N-(1-((2-bromophenyl)methyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-N<sup>o</sup>-phenylmethylcarbamide;

*N*-(1-((4-hydroxy-3-methoxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

*N*-(1-((5-ethylthien-2-yl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

5 *N*-(1-(imidazol-2-ylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

*N*-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

10 *N*-(1-((4-fluorophenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

*N*-((4-methylphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

*N*-((4-methylphenyl)methyl)-*N*-(1-methylpiperidin-4-yl)-4-methoxyphenylacetamide;

15 *N*-(1-ethylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

*N*-((4-methylphenyl)methyl)-*N*-(1-propylpiperidin-4-yl)-4-methoxyphenylacetamide;

*N*-(1-butylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

20 *N*-(1-(3,3-dimethylbutyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

*N*-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

25 *N*-((4-methylphenyl)methyl)-*N*-(1-(2-methylpropyl)piperidin-4-yl)-4-methoxyphenylacetamide;

*N*-((4-methylphenyl)methyl)-*N*-(1-((4-methylphenyl)methyl)piperidin-4-yl)-4-methoxyphenylacetamide;

*N*-(1-((4-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

30 *N*-(1-((2-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

*N*-(3-phenylpropyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

*N*-(2-phenylethyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

*N*-((2-methoxyphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;  
N-((3,4-di-methoxyphenyl)methyl)-N-(piperidin-4-yl)-4-  
methoxyphenylacetamide;

N-((4-fluorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;  
5 N-((2,4-di-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-  
methoxyphenylacetamide;

N-((3-methylphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;  
N-((3-bromophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;  
N-(1-(phenylmethyl)piperidin-4-yl)-N-(3-phenyl-2-propen-1-yl)-4-

10 methoxyphenylacetamide;  
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenylacetamide;  
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-phenylpropionamide;  
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(phenylthio)acetamide;  
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenoxyacetamide;  
15 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(4-  
chlorophenoxy)acetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-  
methoxyphenylacetamide;  
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-fluorophenylacetamide;  
20 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-2,5-di-  
methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-chlorophenylacetamide;  
N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-N'-  
phenylmethylcarbamide;

25 N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-4-  
methoxyphenylacetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(piperidin-4-yl) acetamide;  
2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;

30 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)  
acetamide;

2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-ethylpiperidin-4-yl) acetamide.

2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-isopropylpiperidin-4-yl)  
acetamide;

2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(piperidin-4-yl) acetamide;  
2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-cyclopentylpiperidin-4-yl)  
acetamide;

2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-isopropylpiperidin-4-yl)  
5 acetamide;

2-(phenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;  
2-(4-fluorophenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl)

acetamide;

2-(4-Methoxyphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl)  
10 acetamide;

2-(4-Trifluoromethylphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-  
methylpiperidin-4-yl) acetamide;

2-(4-Fluorophenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-Methoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl)  
15 acetamide;

2-(phenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-Trifluoromethylphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl)  
acetamide;

2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-  
20 methylpiperidin-4-yl) acetamide;

2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl)  
acetamide;

2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-  
yl) acetamide;

2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-  
25 4-yl) acetamide;

2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-  
methylpiperidin-4-yl) acetamide;

2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl)  
30 acetamide;

2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-  
yl) acetamide;

2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-  
4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(4-chloromethyl-2-thiazolylmethyl) piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3(1,3 dihydro-2H-benzimidazol-2-on-1-yl) propyl] piperidin-4-yl} acetamide;

5 2-(4-methoxyphenyl)-*N*-(2-(4-fluorophenyl) ethyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(2,5-dimethoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

Sub  
A3  
10 2-(4-methoxyphenyl)-*N*-[2-(2,4-dichlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(3-chlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(4-methoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

15 2-(4-methoxyphenyl)-*N*-[2-(3-fluorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-[2-(4-fluorophenethyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

20 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2-hydroxyethoxy)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-((2-chloro-5-thienyl)methyl) piperidin-4-yl] acetamide;

25 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(2-(imidazolidinon-1-yl)ethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2,4(1H,3H)quinazolin-3-yl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(1,3-dioxolan-2-yl)ethyl]piperidin-4-yl} acetamide;

30 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(3-indolyl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3-(1,2,4-triazol-1-yl)propyl]piperidin-4-yl} acetamide;

544/

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-benzofurazanylmethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-chlorobenzo[b]thien-3-ylmethyl) piperidin-4-yl] acetamide;

5 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-phenyl-1,2,4-oxadiazol-3-ylmethyl)piperidin-4-yl] acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-isopropylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)-acetamide;

10 2-Phenyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide, 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclopentylpiperidin-4-yl)-acetamide;

15 2-(4-Fluorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-(2-hydroxyethyl)-piperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;

20 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide, 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(tropin-4-yl)-acetamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

25 2-Phenyl-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Trifluoromethylphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

30 2-(4-Methoxyphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Methylphenyl)-N-(4-chlorobenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;



2-(4-Hydroxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-  
acetamide;  
N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;  
N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;  
5 N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;  
2-(4-Methoxyphenyl)-2,2-ethylene-N-(4-methylbenzyl)-N-(1-methylpiperidin-  
4-yl) acetamide;  
2-(4-Methoxyphenyl)-N-alpha-methylbenzyl-N-(1-methylpiperidin-4-yl)  
acetamide;  
10 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(3-tropen-4-yl) acetamide;  
2-Phenyl-2-ethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;  
N-Phenethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-amine;  
2-(4-Methoxyphenyl)-N-(1-indanyl)-N-(1-methylpiperidin-4-yl) acetamide;  
N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-(4-methoxybenzyl)-  
15 carbamide;  
2-(3,4-dimethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;  
2-(3,4-Methylenedioxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-  
yl) acetamide;  
20 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-  
acetamide;  
N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;  
N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;  
N-(4-Methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-N'-(4-methoxybenzyl)-  
25 carbamide;  
2-(4-Ethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;  
2-(4-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;  
30 2-(4-i-Propoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;  
2-(4-t-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;

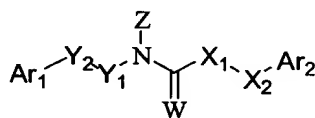
2-(4-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;

2-(4-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide;

5 2-(4-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide; and

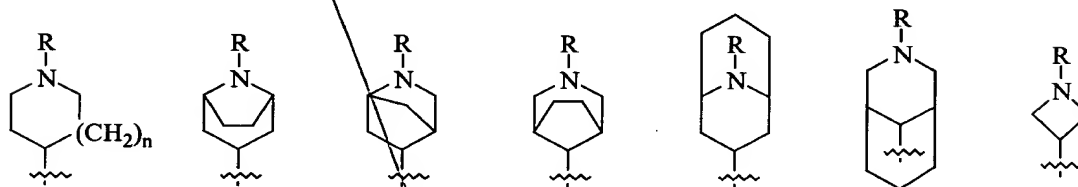
2-(4-t-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)  
acetamide.

8. A compound of formula (I)



I

10 wherein  
Z is



or

15 in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl  
group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or  
heteroaralkyl group; and

n is 0, 1, or 2;

20  $X_1$  is methylene, vinylene, or an NH or N(lower alkyl) group; and

$X_2$  is methylene, or, when  $X_1$  is methylene or vinylene,  $X_2$  is methylene or a  
bond; or when  $X_1$  is methylene,  $X_2$  is O, S, NH, or N(lower alkyl) or a bond;

$Y_1$  is methylene and  $Y_2$  is methylene, vinylene, ethylene, propylene, or a bond;

or

25  $Y_1$  is a bond and  $Y_2$  is vinylene; or

$Y_1$  is ethylene and  $Y_2$  is O, S, NH, or N(lower alkyl);

Sub  
A3

and

Ar<sub>1</sub> and Ar<sub>2</sub> are different unsubstituted or substituted aryl or heteroaryl groups;

W is oxygen or sulfur.

1

8 9.

5

A compound according to claim 8, wherein

Y<sub>1</sub> is methylene and Y<sub>2</sub> is a bond, methylene, ethylene, or vinylene; or

Y<sub>1</sub> is ethylene and Y<sub>2</sub> is O or S; and

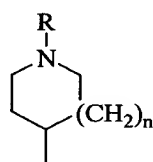
X<sub>1</sub> is methylene and X<sub>2</sub> is a bond, methylene, O, or S; or

X<sub>1</sub> is NH or N(lower alkyl) and X<sub>2</sub> is a methylene.

10. A compound according to claim 9, wherein

10

Z is



A

and W is oxygen.

11. A compound according to claim 10, wherein

15

Ar<sub>1</sub> and Ar<sub>2</sub> independently are mono- or disubstituted phenyl groups.

12. A compound according to claim 11, wherein

R is a hydrogen, a lower alkyl group, a cyclic organyl group, or an, optionally substituted, alalkyl or heteroaralkyl group;

n is 1;

20

Y<sub>1</sub> is methylene, Y<sub>2</sub> is a bond, methylene, ethylene, or vinylene;

X<sub>1</sub> is methylene and X<sub>2</sub> is a bond, or

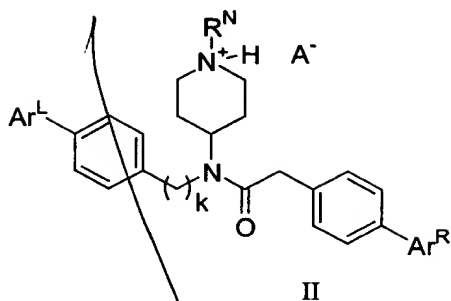
X<sub>1</sub> is NH or N(lower alkyl) and X<sub>2</sub> is methylene; and

Ar<sub>1</sub> and Ar<sub>2</sub> are phenyl groups, independently p-substituted with groups selected from alkyl, lower alkoxy and halogen.

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13. A compound according to claim 7, having a formula (II):

Sub  
A4



wherein  $R^N$  is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

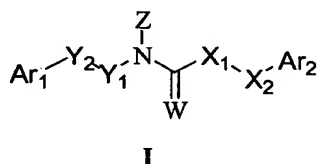
$Ar^L$  is selected from lower alkyl, lower alkoxy and halogen

$Ar^R$  is selected from lower alkyl, lower alkoxy and halogen;

$k$  is 1 or 2

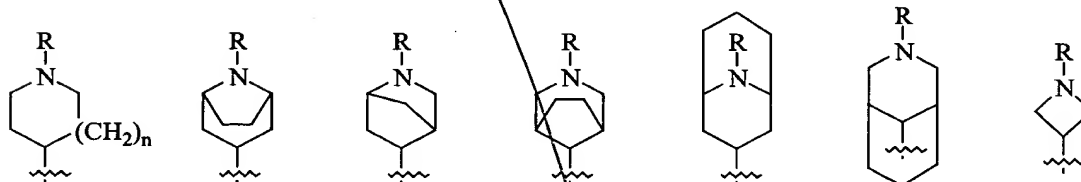
and  $A^-$  is a suitable anion.

14. A pharmaceutical composition comprising an effective amount of a compound of formula (I):



wherein

Z is



in which

$R$  is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

$n$  is 0, 1, or 2;

$X_1$  is methylene, vinylene, or an NH or N(lower alkyl) group; and

$X_2$  is methylene, or, when  $X_1$  is methylene or vinylene,  $X_2$  is methylene or a bond; or when  $X_1$  is methylene,  $X_2$  is O, S, NH, or N(lower alkyl) or a bond;

Y<sub>1</sub> is methylene and Y<sub>2</sub> is methylene, vinylene, ethylene, propylene, or a bond;

or

Y<sub>1</sub> is a bond and Y<sub>2</sub> is vinylene; or

Y<sub>1</sub> is ethylene and Y<sub>2</sub> is O, S, NH, or N(lower alkyl);

Ar<sub>1</sub> and Ar<sub>2</sub> independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar<sub>1</sub> and Ar<sub>2</sub> are not simultaneously phenyl; and

W is oxygen or sulfur;

or a pharmaceutically acceptable salt, ester or prodrug thereof, and

a pharmaceutically acceptable diluent or excipient.

10 15. A method of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of one or more of the compounds of claim 1 that is effective in inhibiting the activity of the monoamine receptor.

14 16. The method of claim 15 wherein the monoamine receptor is a serotonin receptor.

15 17. The method of claim 16 wherein the serotonin receptor is the 5-HT<sub>2A</sub> subclass.

16 18. The method of claim 16 wherein the serotonin receptor is in the central nervous system.

20 19. The method of claim 16 wherein the serotonin receptor is in the peripheral nervous system.

18 20. The method of claim 16 wherein the serotonin receptor is in blood cells or platelets.

19 21. The method of claim 16 wherein the serotonin receptor is mutated or modified.

25 22. The method of claim 15 wherein the activity is signaling activity.

21 23. The method of claim 15 wherein the activity is constitutive.

22 24. The method of claim 15 wherein the activity is associated with serotonin receptor activation.

30 25. A method of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of a compound of one or more of the compounds of claim 1 that is effective in inhibiting the activation of the monoamine receptor.

24 26. The method of claim 25 wherein the activation is by an agonistic agent.

24. 25 The method of claim 24 wherein the agonistic agent is exogenous.
28. 26 The method of claim 24 wherein the agonistic agent is endogenous.
29. 27 The method of claim 23 wherein the activation is constitutive.
30. 28 The method of claim 23 wherein the monoamine receptor is a serotonin receptor.
31. 28 The method of claim 30 wherein the serotonin receptor is the 5-HT2A subclass.
32. 28 The method of claim 30 wherein the serotonin receptor is in the central nervous system.
33. 28 The method of claim 30 wherein the serotonin receptor is in the peripheral nervous system.
34. 28 The method of claim 30 wherein the serotonin receptor is in blood cells or platelets.
35. 28 The method of claim 30 wherein the serotonin receptor is mutated or modified.
36. 34 A method of treating a disease condition associated with a monoamine receptor comprising administering to a subject in need of such treatment a therapeutically effective amount of one or more of the compounds of claim 1.
37. 34 The method of claim 36 wherein the disease condition is selected from the group consisting of schizophrenia, psychosis, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, sleep disorders and appetite disorders.
38. 34 The method of claim 36 wherein the disease condition is associated with dysfunction of a monoamine receptor.
39. 34 The method of claim 36 wherein the disease condition is associated with activation of a monoamine receptor.
40. 34 The method of claim 36 wherein the disease condition is associated with increased activity of monoamine receptor.
41. 34 The method of claim 36 wherein the monoamine receptor is a serotonin receptor.
42. 39 The method of claim 41 wherein the serotonin receptor is the 5-HT2A subclass.
43. 39 The method of claim 41 wherein the serotonin receptor is in the central nervous system.

- 42  
44. The method of claim 41 wherein the serotonin receptor is in the peripheral nervous system.
- 43  
45. The method of claim 41 wherein the serotonin receptor is in blood cells or platelets.
- 44  
5 46. The method of claim 41 wherein the serotonin receptor is mutated or modified.
- 45  
47. A method of treating schizophrenia comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 46  
10 48. A method of treating migraine comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 47  
49. A method of treating psychosis comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 15
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50. A method for identifying a genetic polymorphism predisposing a subject to being responsive to one or more of the compounds of claim 1, comprising: administering to a subject a therapeutically effective amount of the compound; measuring the response of said subject to said compound, thereby identifying a responsive subject having an ameliorated disease condition associated with a monoamine receptor; and
- 20
- identifying a genetic polymorphism in the responsive subject, wherein the genetic polymorphism predisposes a subject to being responsive to the compound.
51. The method of claim 50 wherein the ameliorated disease condition is associated with the 5-HT class or 5-HT2A subclass of monoaminergic receptors.
- 25
52. A method for identifying a subject suitable for treatment with one or more of the compounds of claim 1, comprising detecting the presence of a polymorphism in a subject wherein the polymorphism predisposes the subject to being responsive to the compound, and wherein the presence of the polymorphism indicates that the subject is suitable for treatment with one or more of the compounds of claim 1.
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